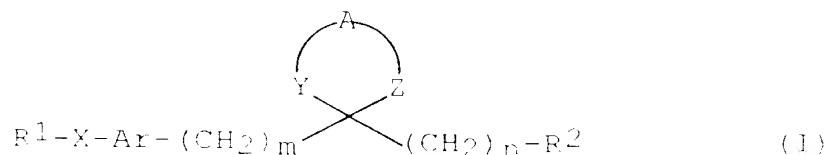


## C L A I M S

1. A compound of the formula:



- 10 in which  $R^1$  is lower alkyl, halogen, optionally substituted heterocyclic group or optionally substituted aryl,  
 $R^2$  is carboxy, protected carboxy or amidated carboxy,  
 15 Ar is optionally substituted aryl or optionally substituted heterocyclic group,  
 A is lower alkylene,  
 X is oxa or a single bond,  
 20 Y is thia, sulfinyl or sulfonyl,  
 Z is methylene, thia, sulfinyl or sulfonyl,  
 m and n are each an integer of 0 to 6, and  
 $1 \leq m+n \leq 6$ ,  
 25 and its salt.

2. The compound of claim 1, in which the heterocyclic group of  $R^1$  and Ar are selected from the group consisting of the following (1) to (14),

- 30 (1) unsaturated 3- to 6-membered, heteromonocyclic group containing 1 to 4 nitrogen atoms,  
 (2) saturated 3- to 8-membered, heteromonocyclic group containing 1 to 4 nitrogen atoms,  
 35 (3) unsaturated 3- to 8-membered,

- heteromonocyclic group containing 1 or 2 sulfur atoms,
- 5 (4) unsaturated condensed 7- to 13-membered, heterocyclic group containing 1 to 5 nitrogen atoms,
- (5) unsaturated 3- to 8-membered, heteromonocyclic group containing 1 or 2 oxygen atoms,
- 10 (6) saturated 3- to 8-membered, heteromonocyclic group containing 1 or 2 oxygen atoms,
- (7) unsaturated 3- to 8-membered, heteromonocyclic group containing 1 or 2 oxygen atoms and 1 to 3 nitrogen atoms,
- 15 (8) unsaturated condensed 7- to 13-membered, heterocyclic group containing 1 or 2 oxygen atoms,
- (9) unsaturated condensed 7- to 13-membered, heterocyclic group containing 1 or 2 sulfur atoms,
- 20 (10) saturated 3- to 8-membered, heteromonocyclic group containing 1 or 2 oxygen atoms and 1 to 3 nitrogen atoms,
- (11) unsaturated condensed 7- to 13-membered, heterocyclic group containing 1 or 2 oxygen atoms and 1 to 3 nitrogen atoms,
- 25 (12) unsaturated 3- to 8-membered, heteromonocyclic group containing 1 or 2 sulfur atoms and 1 to 3 nitrogen atoms,
- (13) saturated 3- to 8-membered, heteromonocyclic group containing 1 or 2 sulfur atoms and 1 to 3 nitrogen atoms, and
- 30 (14) unsaturated condensed 7- to 13-membered, heterocyclic group containing 1 or 2 sulfur atoms and 1 to 3 nitrogen atoms, and
- 35

the aryl group of  $R^1$  and Ar is  $C_6-C_{10}$  aryl, and further,

each of the above-mentioned heterocyclic group and aryl group are optionally substituted by the group consisting of the following (A1) to (A35);

- (A1) halogen,
- (A2) lower alkyl,
- (A3) lower alkoxy,
- 10 (A4) halo(lower)alkyl,
- (A5) halo(lower)alkoxy,
- (A6) lower alkenyl,
- (A7) acyl,
- (A8) lower alkylthio, lower alkylsulfinyl, lower
- 15 alkylsulfonyl,
- (A9)  $C_6-C_{10}$  aryl,
- (A10) halo( $C_6-C_{10}$ )aryl,
- (A11) hydroxy,
- (A12) hydroxy(lower)alkyl, protected
- 20 hydroxy(lower)alkyl,
- (A13) amino,
- (A14) carboxy,
- (A15) protected carboxy,
- (A16) nitro(lower)alkenyl,
- 25 (A17) lower alkylenedioxy,
- (A18) acylamino,
- (A19) nitro,
- (A20) ( $C_6-C_{10}$ )aryl(lower)alkoxy,
- (A21) carbamoyl(lower)alkenyl optionally N-
- 30 substituted by the group consisting of lower alkyl,  $C_6-C_{10}$  aryl, lower alkoxy( $C_6-C_{10}$ )-aryl, and halo( $C_6-C_{10}$ )aryl,
- (A22) lower alkylaminocarbonyloxy,
- (A23) lower alkanoyloxy,
- 35 (A24) lower alkoxy(lower)alkanoyloxy,

- (A25) lower alkoxy-carbonyloxy,  
(A26) lower alkenyloxy optionally substituted by  
heterocyclic group of the above (1) to (14),  
(A27) lower cycloalkanecarbonyloxy,  
5 (A28) lower alkoxy substituted by the group  
consisting of carboxy, protected carboxy,  
lower alkanoyl, lower cycloalkanecarbamoyl,  
and lower alkylcarbamoyl,  
(A29) lower alkylcarbamoxyloxy(lower)alkyl,  
10 (A30) lower alkoxy-carbonylamino(lower)alkyl,  
(A31) amino(lower)alkyl,  
(A32) lower alkylcarbamoxy(lower)alkyl,  
(A33) heterocyclic-carbonylamino, the heterocyclic  
group being selected from the above (1) to  
15 (14) and optionally being substituted N-  
protective group,  
(A34) the above heterocyclic groups (1) to (14)  
being optionally substituted by lower alkyl,  
and  
20 (A35) oxo.
3. The compound of claim 2, in which  
R<sup>1</sup> is lower alkyl; halogen; optionally substituted  
heterocyclic group consisting of the following  
25 (1) to (10); or aryl consisting of phenyl and  
naphthyl;  
R<sup>2</sup> is carboxy, lower alkoxy-carbonyl,  
hydroxyaminocarbonyl,  
tetrahydropyranyloxyaminocarbonyl, or  
30 phenyl(lower)alkylaminocarbonyl,  
Ar is phenyl or heterocyclic group of the following (3),  
and  
m and n are each an integer of 0 or 1, and m+n=1 or 2,  
wherein the above-mentioned heterocyclic group is;  
35 (1) unsaturated 5- or 6-membered heteromonocyclic

- group containing 1 to 4 nitrogen atoms,
- (2) saturated 5- or 6-membered, heteromonocyclic group containing 1 to 4 nitrogen atoms,
- (3) unsaturated 5- or 6-membered heteromonocyclic group containing 1 to 2 sulfur atoms,
- (4) unsaturated bicyclic 9- or 10-membered, heterocyclic group containing 1 to 5 nitrogen atoms,
- (5) unsaturated 5- or 6-membered heteromonocyclic group containing 1 to 2 oxygen atoms,
- (6) saturated 5- or 6-membered, heteromonocyclic group containing 1 or 2 oxygen atoms,
- (7) unsaturated 5- or 6-membered, heteromonocyclic group containing 1 or 2 oxygen atoms and 1 to 3 nitrogen atoms,
- (8) unsaturated bicyclic 9- or 10-membered, heterocyclic group containing 1 or 2 oxygen atoms,
- (9) unsaturated bicyclic 9- or 10-membered, heterocyclic group containing 1 or 2 sulfur atoms, or
- (10) saturated 5- or 6-membered, heteromonocyclic group containing 1 or 2 oxygen atoms and 1 to 3 nitrogen atoms,

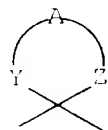
wherein the heterocyclic group being optionally substituted by the group consisting of the following (B1) to (B8);

- (B1) lower alkanoyl,  
(B2) lower alkyl,  
(B3) lower alkoxy,  
(B4) lower alkoxy-carbenylamino,  
(B5) carbamoyl or lower alkylcarbamoyl,  
(B6) lower alkoxy-carbenyl,  
(B7) halo, and  
(B8) oxo;

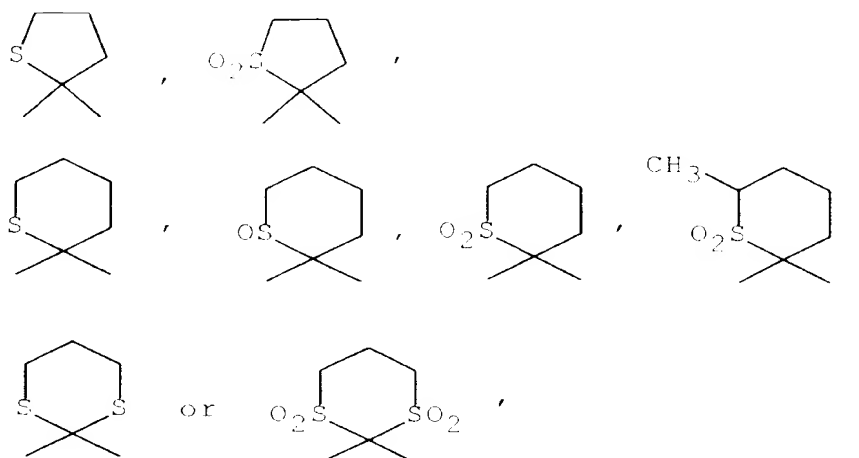
and the above-mentioned aryl is optionally

substituted by the group consisting of the (A1) to (A35) as defined in claim 2.

4. The compound of claim 3, in which  
5 a group of the formula:



10 is one of the following formulae:



- 25  $R^1$  is lower alkyl; halogen; optionally substituted heterocyclic group consisting of the following (1) to (10); or aryl consisting of phenyl and naphthyl,  
30  $R^2$  is carboxy, lower alkoxy carbonyl, hydroxyaminocarbonyl, or tetrahydropyranyloxyaminocarbonyl,  
Ar is phenyl or heterocyclic group of the following (3),  
35 m and n are each an integer of 0 or 1, and  $m+n=1$  or 2, wherein the above-mentioned heterocyclic group is  
(1) pyrrolyl, pyrrolinyl, imidazolyl, pyrazolyl, pyridyl, pyridyl N-oxide, pyrimidyl, pyrazinyl, pyridazinyl, triazolyl, tetrazolyl,

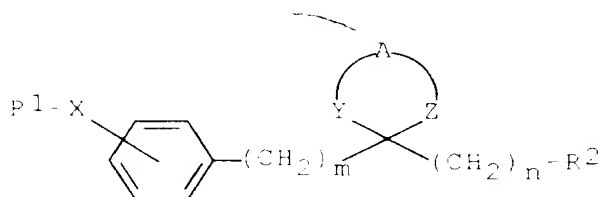
- 5 dihydrotriazinyl,  
(2) azetidinyl, pyrrolidinyl, imidazolidinyl,  
piperidinyl, piperidino, pyrazolidinyl,  
piperazinyl,  
(3) thienyl,  
(4) indolyl, isoindolyl, indolizinyl,  
benzimidazolyl, quinolyl, isoquinolyl,  
tetrahydroisoquinolyl, indazolyl,  
benzotriazolyl, tetrazolopyridyl,  
10 tetrazolopyridazinyl,  
dihydrotriazolopyridazinyl,  
(5) furyl,  
(6) oxolanyl,  
(7) oxazolyl, isoxazolyl, oxadiazolyl,  
15 (8) benzofuranyl, benzdihydrofuranyl,  
benzodioxolanyl,  
(9) benzothienyl, dihydrobenzothienyl,  
(10) morpholanyl, morpholino,  
wherein the heterocyclic group being  
20 optionally substituted by the group consisting of  
the (B1) to (B8) as defined in claim 3,  
and the above-mentioned aryl is optionally  
substituted by the group consisting of following  
(A1) to (A34),  
25 (A1) halogen,  
(A2) lower alkyl,  
(A3) lower alkoxy,  
(A4) halo(lower)alkyl,  
(A5) halo(lower)alkoxy,  
30 (A6) lower alkenyl,  
(A7) acyl,  
(A8) lower alkylthio, lower alkylsulfinyl, lower  
alkylsulfonyl,  
(A9) C<sub>6</sub>-C<sub>10</sub> aryl  
35 (A10) halo(C<sub>6</sub>-C<sub>10</sub>) aryl,

- (A11) hydroxy,  
(A12) hydroxy(lower)alkyl or protected  
hydroxy(lower)alkyl,  
(A13) amino,  
5 (A14) carboxy,  
(A15) protected carboxy,  
(A16) nitro(lower)alkenyl,  
(A17) lower alkylenedioxy,  
(A18) acylamino,  
10 (A19) nitro,  
(A20) (C<sub>6</sub>-C<sub>10</sub>)aryl(lower)alkoxy,  
(A21) carbamoyl(lower)alkenyl optionally N-  
substituted by the group consisting of lower  
alkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, lower alkoxy(C<sub>6</sub>-C<sub>10</sub>)-  
15 aryl, and halo(C<sub>6</sub>-C<sub>10</sub>)aryl,  
(A22) lower alkylaminocarbonyloxy,  
(A23) lower alkanoyloxy,  
(A24) lower alkoxy(lower)alkanoyloxy,  
(A25) lower alkoxy carbonyloxy,  
20 (A26) lower alkenoyloxy optionally substituted by  
the above heterocyclic group (1),  
(A27) lower cycloalkanecarbonyloxy,  
(A28) lower alkoxy substituted by the group  
consisting of carboxy, protected carboxy,  
25 lower alkanoyl, lower cycloalkanecarbamoyl,  
and lower alkylcarbamoyl,  
(A29) lower alkylcarbonyloxy(lower)alkyl,  
(A30) lower alkoxy carbonylamino(lower)alkyl,  
(A31) amino(lower)alkyl,  
30 (A32) lower alkylcarbamoyl(lower)alkyl,  
(A33) heterocyclic-carbonylamino, the heterocyclic  
group being selected from the above (2), (4)  
and (5) and optionally substituted by N-  
protective group, and  
35 (A34) the heterocyclic group of the above (7) being

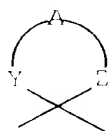


optionally substituted by lower alkyl.

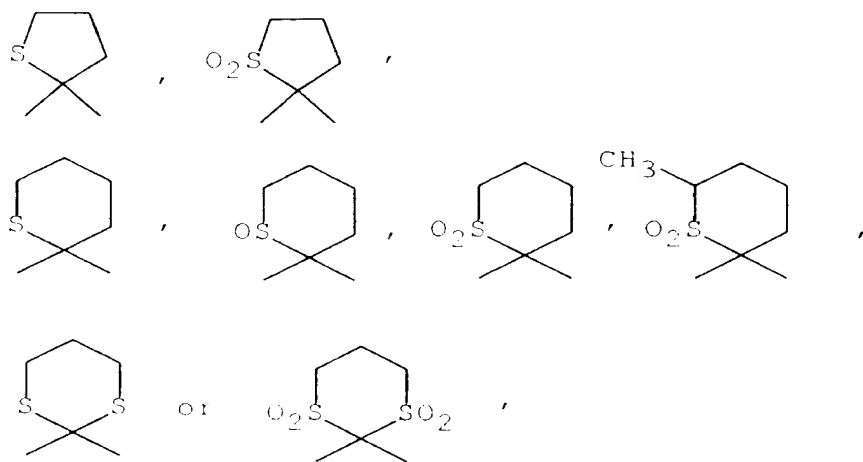
5. The compound of claim 4, having the following formula:



10 wherein a group of the formula:



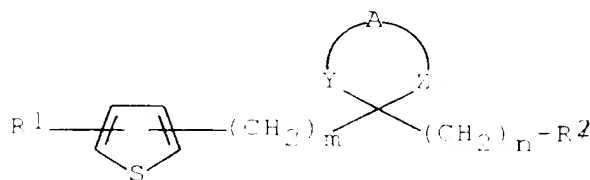
15 is one of the following formulae:



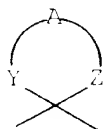
$R^1$  is lower alkyl, phenyl, halophenyl, or  
(halo)(phenyl)phenyl,

30  $R^2$  is carboxy or hydroxyaminocarbonyl, and  
 $m$  and  $n$  are each an integer of 0 or 1, and  $m+n=1$ .

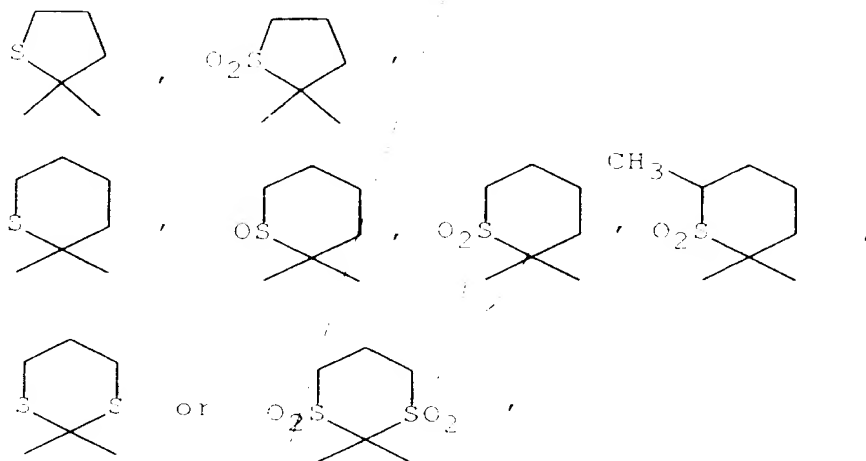
6. The compound of claim 4, having the following formula:



wherein a group of the formula:



is one of the following formulae:



$R^2$  is carboxy or hydroxyaminocarbonyl,

$m$  and  $n$  are each an integer of 0 or 1, and  $m+n=1$ ,

$R^1$  is halogen; heterocyclic group consisting of pyridyl, thienyl, furyl, benzofuranyl or benzothienyl, wherein the heterocyclic group is optionally substituted by the group consisting of lower alkanoyl, lower alkyl, lower alkoxy, lower alkoxy-carbonylamino and lower alkyl-carbamoyl; naphthyl or phenyl optionally substituted by the group consisting of the following (C1) to (C31);

(C1) halogen,

(C2) lower alkyl,

- (C3) lower alkoxy,  
(C4) halo(lower)alkyl,  
(C5) halo(lower)alkoxy,  
(C6) lower alkenyl,  
5 (C7) lower alkylcarbamoyl, carbamoyl,  
phenyl(lower)alkylcarbamoyl, lower alkanoyl,  
(C8) lower alkylthio, lower alkylsulfinyl, lower  
alkylsulfonyl,  
(C9) phenyl, naphthyl,  
10 (C10) halophenyl,  
(C11) hydroxy,  
(C12) mono- or dihydroxy(lower)alkyl,  
phenoxycarbonyloxy(lower)alkyl  
(C13) amino,  
15 (C14) carboxy,  
(C15) lower alkylenedioxy,  
(C16) lower alkanoylamino,  
phenyl(lower)alkanoylamino,  
halophenyl(lower)alkanoylamino, lower  
20 alkoxy(lower)alkanoylamino,  
lower alkoxy(lower)alkanoylamino,  
phenoxy(lower)alkanoylamino, lower  
alkoxyphenoxy(lower)alkanoylamino, lower  
alkylphenoxy(lower)alkanoylamino,  
25 halophenoxy(lower)alkanoylamino,  
carboxy(lower)alkanoylamino, lower  
alkoxycarbonyl(lower)alkanoylamino,  
lower alkylcarbamoyl(lower)alkanoylamino,  
halo(lower)alkanoylamino,  
30 lower alkenyl(lower)alkanoylamino,  
lower alkoxy(lower)alkanoylamino,  
phenyl(lower)alkoxy(lower)alkanoylamino,  
piperidinyloxy(lower)alkanoylamino,  
N-lower alkoxycarbonylpiperidinyloxy-  
35 (lower)alkanoylamino,

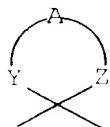
pyridyloxy(lower)alkanoylamino,  
hydroxy(lower)alkanoylamino,  
lower alkanoyloxy(lower)alkanoylamino,  
lower alkylcarbamoyloxy(lower)alkanoylamino,  
5 N,N-di(lower alkyl)carbamoyloxy,  
piperidino-carbonyloxy(lower)alkanoylamino,  
phenyl(lower)alkylcarbamoyloxy(lower)-  
alkanoylamino, lower  
alkoxycarbonylamino(lower)alkanoylamino,  
10 amino(lower)alkanoylamino, lower  
alkoxycarbonylamino(lower)alkanoylamino,  
fluorenylmethoxycarbonylamino(lower)-  
alkanoylamino,  
lower alkylamino(lower)alkanoylamino, [N,N-  
15 di(lower alkyl)amino](lower)alkanoylamino,  
[N-lower alkyl-N-(lower alkoxy carbonyl)-  
amino](lower)alkanoylamino, [N-lower alkyl-N-  
(fluorenylmethoxycarbonyl)amino]-  
(lower)alkanoylamino,  
20 [N-lower alkyl-N-(mono- or di(lower)-  
alkylcarbamoyl)amino](lower)alkanoylamino,  
[N-(mono- or di(lower alkyl)carbamoyl)-  
amino](lower)alkanoylamino,  
benzoylamino(lower)alkanoylamino, lower  
25 alkanoylamino(lower)alkanoylamino, lower  
alkanesulfonylamino(lower)alkanoylamino,  
lower alkoxy(lower)alkanoylamino-  
(lower)alkanoylamino,  
cyclo(lower)alkyloxycarbonylamino-  
30 (lower)alkanoylamino,  
pyridylcarbonylamino(lower)alkanoylamino,  
morpholinocarbonylamino(lower)alkanoylamino,  
phenyl(lower)alkoxyoxycarbonylamino-  
(lower)alkanoylamino,  
35 lower alkoxyphenylsulfonylamino-

(lower)alkanoylamino,  
hydroxy(lower)alkylamino(lower)alkanoylamino,  
morpholino(lower)alkanoylamino,  
oxooxazolidinyl(lower)alkanoylamino,  
5 oxopyrrolidinyl(lower)alkanoylamino,  
trimethylhydantoinyl(lower)alkanoylamino,  
lower alkenylamino(lower)alkanoylamino,  
lower alkoxy(lower)alkylamino(lower)-  
alkanoylamino,  
10 phenyl(lower)alkylamino(lower)alkanoylamino,  
pyridyl(lower)alkylamino(lower)alkanoylamino,  
lower alkoxycarbonylamino,  
phenyl(lower)alkoxycarbonylamino,  
lower alkoxy(lower)alkoxycarbonylamino,  
15 halo(lower)alkoxycarbonylamino,  
amino(lower)alkoxycarbonylamino,  
phthalimido(lower)alkoxycarbonylamino,  
carbamoylamino,  
(mono- or di(lower)alkyl)carbamoylamino,  
20 naphthylcarbamoylamino,  
halophenylcarbamoylamino,  
lower alkoxyphenylcarbamoylamino,  
lower alkenylcarbamoylamino,  
cyclo(lower)alkyl(lower)alkylcarbamoylamino,  
25 phenyl(lower)alkylcarbamoylamino,  
halo(lower)alkylcarbamoylamino,  
lower alkoxy(lower)alkylcarbamoylamino,  
hydroxy(lower)alkylcarbamoylamino, (lower  
alkyl)(diphenyl)silyloxy(lower)alkyl-  
30 carbamoylamino,  
carboxy(lower)alkylcarbamoylamino, lower  
alkoxycarbonyl(lower)alkylcarbamoylamino,  
lower alkylcarbamoyl(lower)alkyl-  
carbamoylamino, or  
35 pyridylcarbamoylamino,

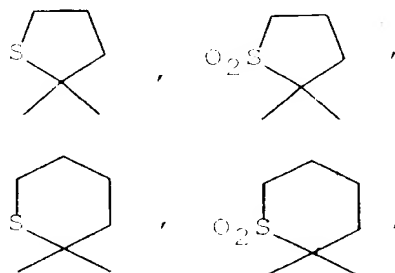
- lower alkylsulfonylamino,  
lower alkenoylamino,  
lower cycloalkanecarbonylamino,  
lower alkenyloxycarbonylamino,  
5 phenoxycarbonylamino,  
lower alkylthiocarbonylamino,  
(C17) p-phenyl(lower)alkoxy,  
(C18) lower alkenyl, mono- or di(lower  
alkyl)carbamoyl(lower)alkenyl, (2-  
10 (methylcarbamoyl)ethenyl, 2-  
(ethylcarbamoyl)ethenyl, 2-  
(propylcarbamoyl)ethenyl, 2-  
(isopropylcarbamoyl)ethenyl, 2-  
(dimethylcarbamoyl)ethenyl,)  
15 phenylcarbamoyl(lower)alkenyl,  
lower alkoxycarbamoyl(lower)alkenyl,  
halophenylcarbamoyl(lower)alkenyl,  
(C19) lower alkylaminocarbonyloxy,  
(C20) lower alkanoyloxy,  
20 (C21) lower alkoxy(lower)alkanoyloxy,  
(C22) lower alkoxycarbonyloxy,  
(C23) pyridyl(lower)alkenoyloxy  
(C24) lower cycloalkanecarbonyloxy,  
(C25) carboxy(lower)alkoxy,  
25 lower alkoxycarbonyl(lower)alkoxy,  
lower alkanoyl(lower)alkoxy,  
lower cycloalkanecarbamoyl(lower)alkoxy,  
lower alkylcarbamoyl(lower)alkoxy,  
(C26) lower alkylcarbamoyloxy(lower)alkyl,  
30 (C27) lower alkoxycarbonylamino(lower)alkyl,  
(C28) amino(lower)alkyl,  
(C29) lower alkylcarbamoyl(lower)alkyl,  
(C30) furylcarbonylamino,  
teretahydroisoquinolylcarbonylamino,  
35 N-lower alkoxycarbonyl-

teretahydroisoquinolylcarbonylamino,  
 pyrrolidinylcarbonylamino,  
 (C31) oxazolyl, lower alkyloxadiazolyl.

- 5 7. The compound of claim 6, in which  
 a group of the formula:

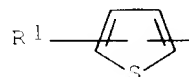


10 is one of the following formulae:



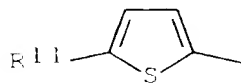
15  $R^2$  is hydroxyaminocarbonyl,  
 20 m is 0 and n is 1,

a group of the formula:



is the group of the following formulae (a) to (e);

25 (a)



30 wherein

$R^1$  is halo, naphthyl, phenyl, mono- or dihalophenyl,  
 mono- or di(lower)alkylphenyl, lower alkoxyphenyl,  
 trihalo(lower)alkylphenyl,  
 trihalo(lower)alkoxyphenyl, lower alkenylphenyl,  
 35 lower alkylcarbonylphenyl, carbonylphenyl,

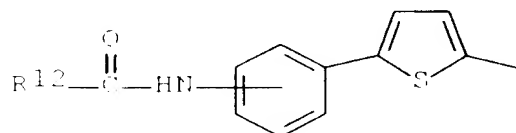
phenyl(lower)alkylcarbamoylphenyl, lower  
alkanoylphenyl, lower alkylthiophenyl, lower  
alkylsulfinylphenyl, lower alkylsulfonylphenyl,  
phenylphenyl, (halo)(phenyl)phenyl, halophenylphenyl,  
5 hydroxyphenyl, mono- or dihydroxy(lower)alkylphenyl,  
phenoxycarbonyloxy(lower)alkylphenyl, aminophenyl,  
carboxyphenyl, lower alkylendioxyphenyl, lower  
alkanesulfonylaminophenyl, lower alkenoylaminophenyl,  
lower cycloalkanecarbonylaminophenyl,  
10 phenyl(lower)alkoxyphenyl, mono- or di(lower  
alkyl)carbamoyl(lower)alkenylphenyl,  
phenylcarbamoyl(lower)alkenylphenyl,  
lower alkoxycarbamoyl(lower)alkenylphenyl,  
halophenylcarbamoyl(lower)alkenylphenyl, lower  
15 alkylcarbamoyloxyphenyl, lower alkanoyloxyphenyl,  
lower alkoxy(lower)alkanoyloxyphenyl, lower  
alkoxycarbonyloxyphenyl,  
pyridyl(lower)alkenoyloxyphenyl,  
cyclo(lower)alkylcarbonyloxyphenyl,  
20 carboxy(lower)alkoxyphenyl, lower  
alkoxycarbonyl(lower)alkoxyphenyl, lower  
alkanoyl(lower)alkoxyphenyl, lower  
cycloalkanecarbamoyl(lower)alkoxyphenyl, lower  
alkylcarbamoyl(lower)alkoxyphenyl, lower  
25 alkylcarbamoyloxy(lower)alkylphenyl, lower  
alkoxycarbonylamino(lower)alkylphenyl,  
amino(lower)alkylphenyl, lower  
alkylcarbamoyl(lower)alkylphenyl,  
furylcarbonylaminophenyl, 1,2,3,4-  
30 teretahydroisoquinolylcarbonylaminophenyl,  
N-tert-butoxycarbonyl, 1,2,3,4-  
teretahydroisoquinolylcarbonylaminophenyl,  
pyrrolidinylcarbonylaminophenyl, oxazolylphenyl,  
lower alkyloxadiazolylphenyl.

35



(b)

5

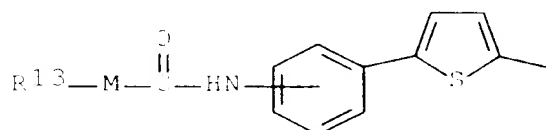


wherein

10  $R^{12}$  is lower alkyl optionally substituted by the group  
 consisting of phenyl, halophenyl, lower  
 alkoxyphenyl, lower alkoxy, phenoxy, lower  
 alkoxyphenoxy, halophenoxy, lower alkylphenoxy,  
 carboxy, lower alkoxycarbonyl, lower alkylcarbamoyl,  
 halo, lower alkenyloxy, lower alkoxy(lower)alkoxy,  
 15 phenyl(lower)alkoxy, piperidinyloxy, N-lower  
 alkoxycarbonyl-piperidinyloxy, pyridyloxy, hydroxy,  
 lower alkanoyloxy, mono- or  
 di(lower)alkylcarbamoxyloxy, piperidinylcarbonyloxy,  
 phenyl(lower)alkylcarbamoxyloxy, lower  
 20 alkoxycarbonylamino, amino, lower  
 alkoxycarbonylamino, fluorenylmethoxycarbonylamino,  
 mono- or di(lower)alkylamino, N-lower alkyl-N-  
 (lower alkoxycarbonyl)amino, N-lower alkyl-N-  
 (fluorenylmethoxycarbonyl)amino, N-lower alkyl-N-  
 25 (mono- or di(lower)alkylcarbamoxy)amino, N-(mono-  
 or di(lower)alkyl)carbamoxyamino, benzoylamino,  
 lower alkanoylamino, lower alkanesulfonylamino,  
 lower alkoxy(lower)alkanoylamino,  
 cyclo(lower)alkyloxycarbonylamino,  
 30 pyridylcarbonylamino, morpholinocarbonylamino,  
 phenyl(lower)alkoxyoxycarbonylamino, lower  
 alkoxyphenylsulfonylamino, hydroxy(lower)alkylamino,  
 morpholino, oxoxazolidinyl, oxopyrrolidinyl,  
 trimethylhydantoinyl, pyridyl, lower alkenylamino,  
 35 lower alkoxy(lower)alkylamino,

phenyl(lower)alkylamino, pyridyl(lower)alkylamino,  
and cyclo(lower)alkyl,

(c)



wherein

10 M is oxygen or sulfur,

R<sup>13</sup> is lower alkyl, phenyl(lower)alkyl,

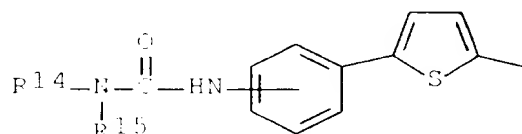
lower alkoxy(lower)alkyl, halo(lower)alkyl,

amino(lower)alkyl, or

phthalimido(lower)alkoxycarbonylamino,

15 lower alkenyl, phenyl,

(d)



wherein

R<sup>15</sup> is hydrogen or lower alkyl,

R<sup>14</sup> is hydrogen, lower alkyl, naphthyl, halophenyl,

25 lower alkoxyphenyl, lower alkenyl, lower

cycloalkyl(lower)alkyl, phenyl(lower)alkyl,

halo(lower)alkyl, lower alkoxy(lower)alkyl,

hydroxy(lower)alkyl, (lower

alkyl)(diphenyl)silyloxy(lower)alkyl,

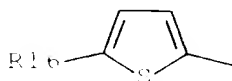
30 carboxy(lower)alkyl, lower

alkoxycarbonyl(lower)alkyl, lower

alkylcarbamoyl(lower)alkyl, or pyridyl,

(e)

35

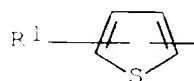


wherein

- 5  $R^{16}$  is benzothienyl, benzofuranyl, thienyl, furyl, lower alkylpyridyl, pyridyl, lower alkoxy pyridyl, lower alkoxy carbonylaminopyridyl, lower alkanoylthienyl, lower alkyl carbamoylbenzofuranyl.

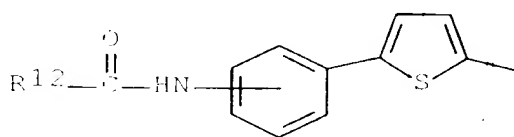
- 10 8. The compound of claim 7, wherein

a group of the formula:



is the same group as (a), (c), (d) and (e) of claim 7,  
15 and the following formula (b):

(b)



wherein

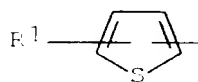
- 20  $R^{12}$  is lower alkyl, phenyl(lower)alkyl, halophenyl(lower)alkyl, lower alkoxyphenyl(lower)alkyl,  
25 lower alkoxy(lower)alkyl, phenoxy(lower)alkyl, lower alkoxyphenoxy(lower)alkyl, halophenoxy(lower)alkyl, lower alkylphenoxy(lower)alkyl, carboxy(lower)alkyl, lower alkoxy carbonyl(lower)alkyl,  
30 lower alkyl carbamoyl(lower)alkyl, halo(lower)alkyl, lower alkenyloxy(lower)alkyl, lower alkoxy(lower)alkoxy(lower)alkyl, phenyl(lower)alkoxy(lower)alkyl, piperidinyloxy(lower)alkyl,  
35 N-t-butoxycarbonylpiperidinyloxy(lower)alkyl,

pyridyloxy(lower)alkyl, hydroxy(lower)alkyl,  
lower alkanoyloxy(lower)alkyl,  
mono- or di(lower)alkylcarbamoyloxy(lower)alkyl,  
piperidinylcarbonyloxy(lower)alkyl,  
5 phenyl(lower)alkylcarbamoyloxy(lower)alkyl,  
lower alkoxy-carbonylamino(lower)alkyl,  
amino(lower)alkyl,  
lower alkoxy-carbonylamino(lower)alkyl,  
fluorenylmethoxycarbonylamino(lower)alkyl,  
10 mono- or di(lower)alkylamino(lower)alkyl,  
N-lower alkyl-N-(lower  
alkoxy-carbonylamino(lower)alkyl,  
N-lower alkyl-N-(fluorenylmethoxycarbonyl)amino-  
(lower)alkyl, N-lower alkyl-N-(mono- or di(lower)-  
15 alkylcarbamoyl)amino(lower)alkyl, N-(mono- or  
di(lower)alkyl)carbamoyl)amino(lower)alkyl,  
benzoylamino(lower)alkyl,  
lower alkanoylamino(lower)alkyl,  
lower alkanesulfonylamino(lower)alkyl,  
20 lower alkoxy(lower)alkanoylamino(lower)alkyl,  
cyclo(lower)alkyloxy-carbonylamino(lower)alkyl,  
pyridylcarbonylamino(lower)alkyl,  
morpholinylcarbonylamino(lower)alkyl,  
phenyl(lower)alkoxyoxycarbonylamino(lower)alkyl,  
25 lower alkoxyphenylsulfonylamino(lower)alkyl,  
hydroxy(lower)alkylamino(lower)alkyl,  
morpholino(lower)alkyl, oxoxazolidinyl(lower)alkyl,  
oxopyrrolidinyl(lower)alkyl,  
trimethylhydantoinyl(lower)alkyl,  
30 pyridyl(lower)alkyl, lower alkenylamino(lower)alkyl,  
lower alkoxy(lower)alkylamino(lower)alkyl,  
phenyl(lower)alkylamino(lower)alkyl,  
pyridyl(lower)alkylamino(lower)alkyl,  
cyclo(lower)alkyl, (amino)phenyl(lower)alkylamino,  
35 (lower alkoxy-carbonylamino)phenyl(lower)alkyl,

(amino)(lower alkoxy)(lower)alkyl, (lower  
 alkoxycarbonylamino)(lower alkoxy)(lower)alkyl,  
 (amino)(carboxy)(lower)alkyl, (lower  
 alkoxycarbonylamino)(carboxy)(lower)alkyl,  
 5 (amino)(lower alkoxycarbonyl)(lower)alkyl, (lower  
 alkoxycarbonylamino)(lower alkoxycarbonyl)-  
 (lower)alkyl, (amino)(phenyl(lower)alkoxy)-  
 (lower)alkyl, (lower alkoxycarbonylamino)-  
 (phenyl(lower)alkoxy)(lower)alkyl,  
 10 (amino)(pyridyl)(lower)alkyl,  
 (lower alkoxycarbonylamino)(pyridyl)(lower)alkyl,  
 (amino)(hydroxy)(lower)alkyl, (lower  
 alkoxycarbonylamino)(hydroxy)(lower)alkyl,  
 (amino)(amino)(lower)alkyl,  
 15 (lower alkoxycarbonylamino)(amino)(lower)alkyl,  
 (amino)(lower alkoxycarbonylamino)(lower)alkyl,  
 (lower alkoxycarbonylamino)(lower  
 alkoxycarbonylamino)(lower)alkyl,  
 (amino)(lower cycloalkane)(lower)alkyl,  
 20 (lower alkoxycarbonylamino)(lower  
 cycloalkane)(lower)alkyl.

9. The compound of claim 7, in which

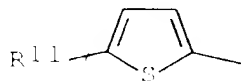
25 a group of the formula:



is the group of the following formula (a) to (e):

(a)

30



35

wherein

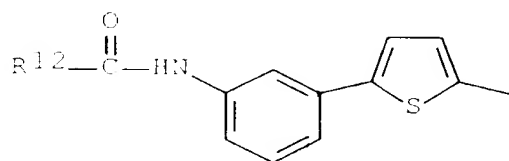
R<sup>11</sup> is bromo, 2-naphthyl, phenyl,  
3(or 4)-chlorophenyl, 2(or 3 or 4)-fluorophenyl,  
3,4-dichlorophenyl, 3,5-difluorophenyl,  
3(or 4)-methylphenyl, 4-ethylphenyl,  
5 4-isopropylphenyl, 4-(t-butyl)phenyl,  
3,4-dimethylphenyl, 4-methoxyphenyl,  
4-ethoxyphenyl, 4-trifluoromethylphenyl,  
4-trifluoromethoxyphenyl, 4-ethenylphenyl,  
4-methylcarbamoylphenyl, 4-ethylcarbamoylphenyl, 4-  
10 carbamoylphenyl, 4-benzylcarbamoylphenyl,  
4-acetylphenyl, 4-methylthiophenyl,  
4-ethylthiophenyl, 4-methylsulfinylphenyl,  
4-methylsulfonylphenyl, phenylphenyl, 4-phenyl-3-  
fluorophenyl, 4-(4-fluorophenyl)phenyl, 3(or 4)-  
15 hydroxyphenyl, 3(or 4)-hydroxymethylphenyl,  
4-(1,2-dihydroxyethyl)phenyl,  
4-(phenoxycarbonyloxymethyl)phenyl, 3(or 4)-  
aminophenyl, 4-carboxyphenyl,  
3,4-methylenedioxyphenyl,  
20 4-(methanesulfonylamino)phenyl,  
3-(2-butenoylamino)phenyl,  
3-(cyclopropanecarbonylamino)phenyl,  
3-(cyclobutanecarbonylamino)phenyl,  
3-(cyclopentanecarbonylamino)phenyl,  
25 4-benzyloxyphenyl,  
4-(2-(methylcarbamoyl)ethenyl)phenyl,  
4-(2-(ethylcarbamoyl)ethenyl)phenyl,  
4-(2-(propylcarbamoyl)ethenyl)phenyl,  
4-(2-(isopropylcarbamoyl)ethenyl)phenyl,  
30 4-2-(dimethylcarbamoyl)ethenyl)phenyl,  
4-(2-(phenylcarbamoyl)ethenyl)phenyl,  
4-(2-(methoxyphenylcarbamoyl)ethenyl)phenyl,  
4-(2-(4-fluorophenylcarbamoyl)ethenyl)phenyl,  
4-(methylaminocarbonyloxy)phenyl,  
35 4-(ethylaminocarbonyloxy)phenyl,

- 4-propanoyloxyphenyl, 4-(methoxyacetyloxy)phenyl,  
 4-(ethoxycarbonyloxy)phenyl,  
 4-(3-(3-pyridyl)acryloyloxy)phenyl,  
 4-(cyclopropylcarbonyloxy)phenyl,  
 5 4-(carboxymethoxy)phenyl,  
 4-(ethoxycarbonylmethoxy)phenyl,  
 4-(t-butoxycarbonylmethoxy)phenyl,  
 4-(propanoylmethoxy)phenyl,  
 4-(cyclopropylcarbonylmethoxy)phenyl,  
 10 3(or 4)-(methylcarbonylmethoxy)phenyl,  
 4-(ethylcarbonylmethoxy)phenyl,  
 4-(propylcarbonylmethoxy)phenyl,  
 3(or 4)-(methylcarbonyloxymethyl)phenyl,  
 4-(methoxycarbonylaminomethyl)phenyl,  
 15 4-(t-butoxycarbonylaminomethyl)phenyl,  
 4-aminomethylphenyl,  
 4-(methylcarbonylmethyl)phenyl,  
 3-(2(or 3)-furylcarbonylamino)phenyl, 3-(1,2,3,4-  
 tetrahydroisquinolylcarbonylamino)phenyl,  
 20 3-(N-(t-butoxycarbonyl)-1,2,3,4-  
 tetrahydroisquinolylcarbonylamino)phenyl,  
 3-(pyrrolidinylcarbonylamino)phenyl,  
 4-(1,3-oxazolyl)phenyl,  
 4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl,

25

(b)

30



wherein

- R<sup>12</sup> is methyl, ethyl, propyl, isopropyl, butyl,  
 isobutyl, t-butyl, neopentyl, phenylmethyl,  
 35 4-chlorophenylmethyl, 4-methoxyphenylmethyl,

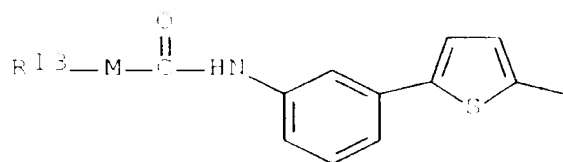
methoxymethyl, ethoxymethyl, propoxymethyl,  
butoxymethyl, isopropylloxymethyl, 1-methoxyethyl,  
2-methoxyethyl, phenoxymethyl, 2-phenoxyethyl, 3(or  
4)-methoxyphenoxymethyl, 4-fluoro(or  
5 chloro)phenoxymethyl, 3(or 4)-methylphenoxymethyl,  
2-carboxyethyl, 2-methoxycarbonyl ethyl, 2-t-  
butoxycarbonyl ethyl, 2-methylcarbamoyl ethyl,  
2-chloroethyl, chloromethyl, allyloxymethyl,  
(2-ethoxyethoxy)methyl, benzyloxymethyl,  
10 4-piperidinylloxymethyl, (N-t-butoxycarbonyl-4-  
piperidinyl)oxymethyl, 3(or 4)-pyridylloxymethyl,  
hydroxymethyl, 2-hydroxyethyl, acetoxymethyl,  
1-acetoxyethyl, methylcarbamoyloxymethyl, 1-(N-  
methyl-N-ethylcarbamoyloxy)methyl, (piperidino-  
15 carbonyloxy)methyl, (benzylcarbamoyloxy)methyl,  
(t-butoxycarbonylamino)methyl, aminomethyl,  
1-aminoethyl, 1-(t-butoxycarbonylamino)ethyl,  
2-aminoethyl, methoxycarbonylamino methyl,  
1-(methoxycarbonylamino)ethyl,  
20 ethoxycarbonylamino methyl,  
propoxycarbonylamino methyl,  
1-(fluorenylmethoxycarbonylamino)methyl,  
2-(t-butoxycarbonylamino)ethyl,  
2-(fluorenylmethoxycarbonylamino)ethyl,  
25 1-aminoisopropyl, 1-aminopropyl,  
1-(t-butoxycarbonylamino)propyl,  
1-(t-butoxycarbonylamino)isopropyl,  
1,5-diaminopentyl, 1,5-bis(t-butoxycarbonylamino)-  
pentyl, methylaminomethyl, ethylaminomethyl,  
30 3-(2-(N-methyl-N-ethylamino)methyl,  
3-(dimethylaminomethyl), 3-(pentylaminomethyl),  
3-(t-butylaminomethyl), 3-(3-methylaminomethyl),  
3-(2-(N-methyl-N-methoxycarbonylamino)methyl,  
1-(N-methyl-N-t-butoxycarbonylamino)methyl,  
35 1-(N-ethyl-N-t-butoxycarbonylamino)methyl,



- 2- (N-methyl-N- (fluorenylmethoxycarbonyl) amino) -  
ethyl, 3- (N-methyl-N- (t-butoxycarbonyl) amino) ethyl,  
1- (N-methyl-N- (dimethylcarbamoyl) amino) methyl,  
1- (dimethylcarbamoylamino) methyl,  
5 1- (N- (ethylcarbamoyl) amino) methyl,  
2- (N- (ethylcarbamoyl) amino) ethyl,  
benzoylaminoethyl, 2-benzoylaminoethyl,  
acetylaminoethyl, isobutyrylaminoethyl,  
pivaloylaminoethyl,  
10 1- (methanesulfonylamino) methyl,  
2- (methanesulfonylamino) ethyl,  
methoxyacetylaminoethyl,  
cyclopentylloxycarbonylaminoethyl,  
pyridylcarbonylaminoethyl,  
15 morpholinocarbonylaminoethyl,  
benzyloxycarbonylaminoethyl,  
1- (4-methoxyphenylsulfonylamino) methyl,  
1- (2-hydroxyethylamino) methyl, <  
morpholinomethyl, 1- (2-oxo-1,3-oxazolidin-1-  
20 yl) methyl, 1- (2-oxopyrrolidin-1-yl) methyl,  
1- (3,4,4-trimethylhydantoin-1-yl) methyl,  
allylaminoethyl, 1- (2-ethoxyethylamino) methyl,  
benzylaminoethyl, 1- (3-pyridylmethylamino) methyl,  
2-phenyl-1-aminoethyl, 1-amino-1-phenylmethyl,  
25 1-t-butoxycarbonylamino-1-phenylmethyl,  
1-amino-2-phenylethyl, 1-t-butoxycarbonylamino-2-  
phenylethyl, 1-amino-2-methoxyethyl,  
1-t-butoxycarbonylamino-2-methoxyethyl, 1-amino-3-  
carboxypropyl, 1-t-butoxycarbonylamino-3-  
30 carboxypropyl, 1-amino-3- (t-butoxycarbonyl) propyl,  
1-t-butoxycarbonylamino-3-t-butoxycarbonylpropyl,  
etc.), 1-amino-2-benzyloxyethyl,  
1-t-butoxycarbonylamino-2-benzyloxyaminoethyl,  
1-amino-2- (3-pyridyl) ethyl, 1-t-  
35 butoxycarbonylamino-2- (3-pyridyl) ethyl, 1-amino-2-

(4-pyridyl)ethyl, 1-t-butoxycarbonylamino-2-(4-pyridyl)ethyl, 1-amino-2-hydroxyethyl, 1-t-butoxycarbonylamino-2-hydroxyethyl, (1,5-diaminopentyl, 1-t-butoxycarbonylamino-5-aminopentyl, 1,5-bis(t-butoxycarbonylamino)pentyl, 1-amino-5-(t-butoxycarbonylamino)pentyl, 1-amino-2-cyclohexylethyl, 1-t-butoxycarbonylamino-2-cyclohexylethyl,

10 (c)



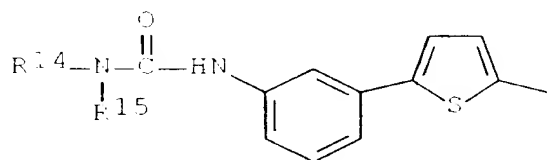
15

wherein

M=O and R<sup>13</sup> is methyl, ethyl, propyl, isopropyl, benzyl, 2-methoxyethyl, 2-chloroethyl, 2-aminoethyl, 2-phthalimidoethyl, allyl, phenyl, or  
M=S and R<sup>13</sup> is methyl, ethyl,

20

(d)



25

wherein

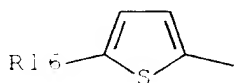
R<sup>15</sup> is hydrogen and

R<sup>14</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, pentyl, hexyl, 1-naphthyl, 3(or 4)-chlorophenyl, 3-methoxyphenyl, allyl, cyclohexylmethyl, benzyl, 2-chloroethyl, methoxymethyl, 2-methoxyethyl, 2-hydroxyethyl, 2-((t-butyl)(diphenyl)silyloxy)ethyl, carboxymethyl, ethoxycarbonylmethyl,

35

methylcarbamoylmethyl, or 3-pyridyl,  
 $R^{14}$  is ethyl and  $R^{15}$  is methyl,

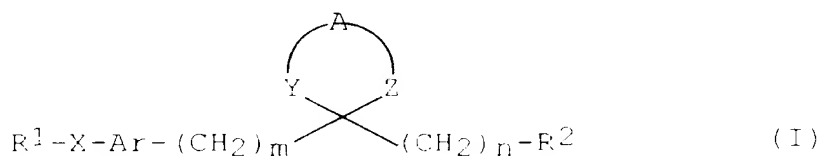
(e)



10 wherein

$R^{16}$  is 2-benzothieryl, 2-benzofuranyl, 2(or 3)-thienyl,  
 2-furyl, 3-pyridyl, 1-methyl-4-pyridyl, 6-methyl-3-  
 pyridyl, 6-methoxy-3-pyridyl,  
 15 5-methoxycarbonylamino-3-pyridyl, 5-acetyl-2-  
 thienyl, 1-methylcarbamoyl-5-benzofuranyl.

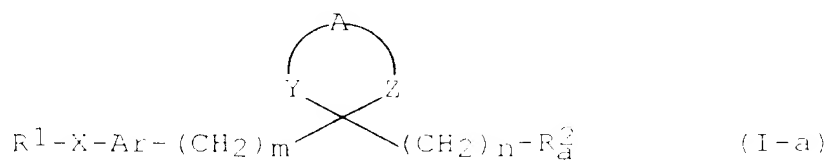
10. A process for the preparation of a compound of the  
 formula:



25 in which  $R^1$ ,  $R^2$ , Ar, A, X, Y, Z, m and n are each as  
 defined in Claim 1,

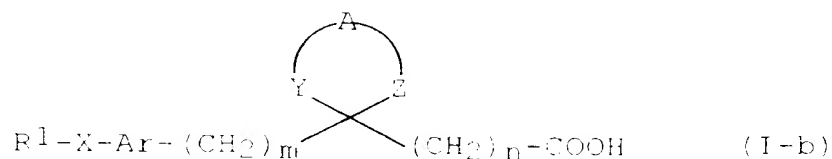
which comprises

30 (1) subjecting a compound of the formula:



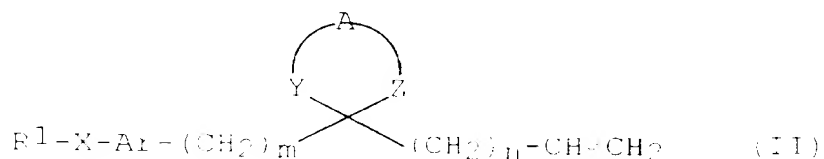
or a salt thereof to removal reaction of the carboxy-

protective group, to give a compound of the formula:



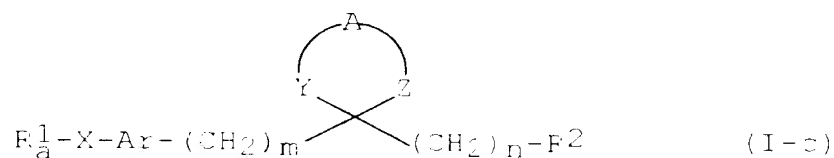
or a salt thereof; or

(2) oxidating the vinyl group of a compound of the formula:

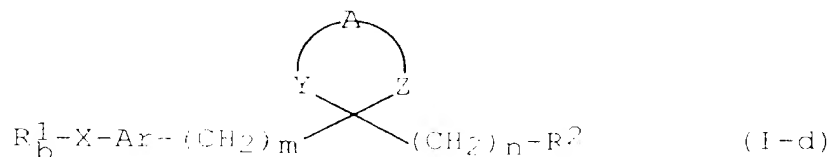


or a salt thereof, to give a compound of the above formula (I-b) or a salt thereof; or

(3) reducing a compound of the formula:

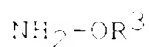


or a salt thereof, to give a compound of the formula:



or a salt thereof; or

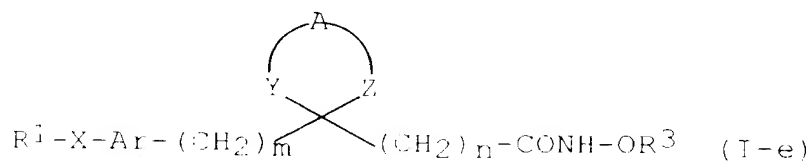
(4) reacting a compound of the above formula (I-b) or its reactive derivative at the carboxy-group, or a salt thereof, with a compound of the formula:



(IV)

or its reactive derivative at the amino-group,  
or a salt thereof, to give a compound of the formula:

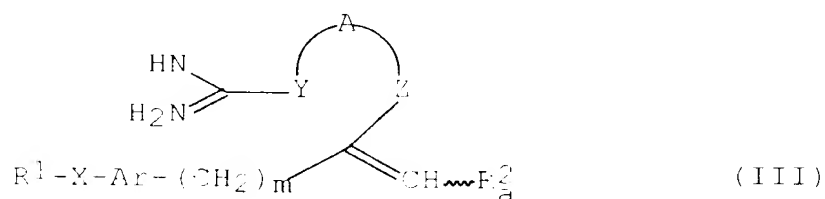
5



10 or a salt thereof; or

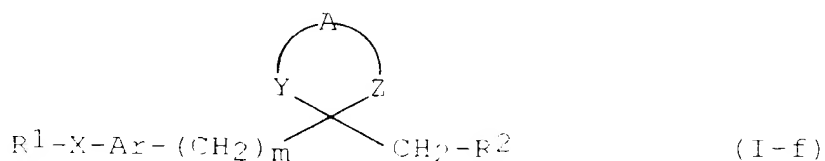
(5) cyclizing a compound of the formula:

15



or a salt thereof, to give a compound of the formula:

20

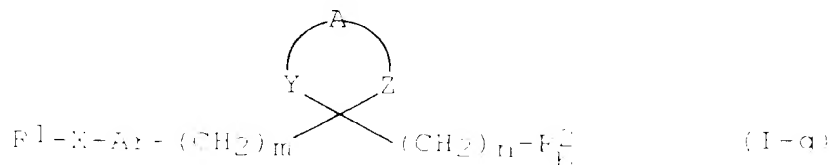


25

or a salt thereof; or

(6) reacting a compound of the above formula (I-b) or its  
reactive derivative at the carboxy-group, or a salt  
thereof, with an optically active amine or its reactive  
derivative at the amino-group, or a salt thereof, to  
give a compound of the formula:

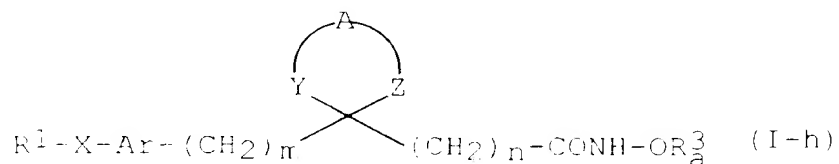
35



or a salt thereof; or

(7) subjecting a compound of the formula:

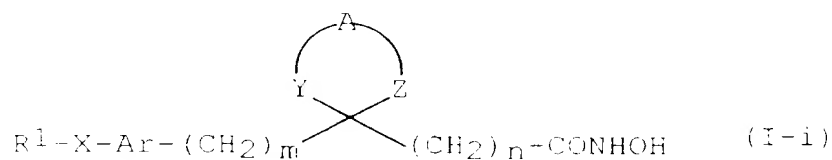
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10

or a salt thereof to removal reaction of the hydroxy-protective group, to give a compound of the formula:

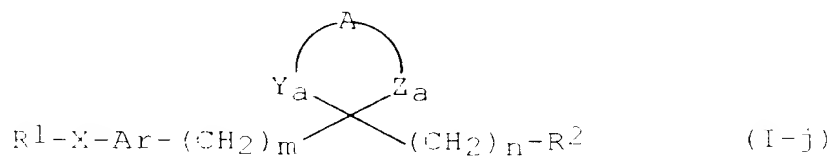
15



or a salt thereof; or

(8) oxidating a compound of the formula:

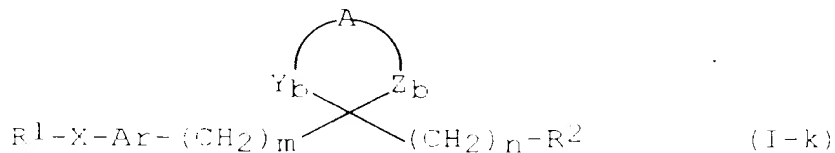
20



25

or a salt thereof, to give a compound of the formula:

30



or a salt thereof; or

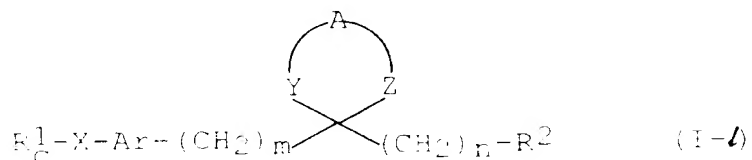
(9) reacting a compound of the above formula (I-c)

or a salt thereof, with a compound of the formula:

35



5 to give a compound of the formula:



10

or a salt thereof; or

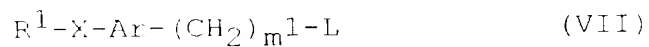
(10) reacting a compound of the formula:

15



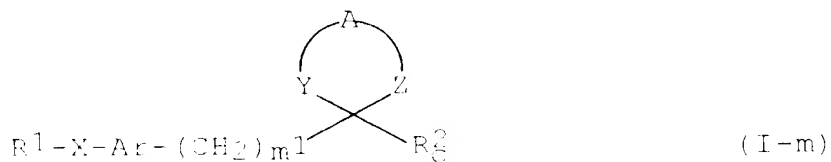
20

or a salt thereof, with a compound of the formula:



or a salt thereof, to give a compound of the formula:

25

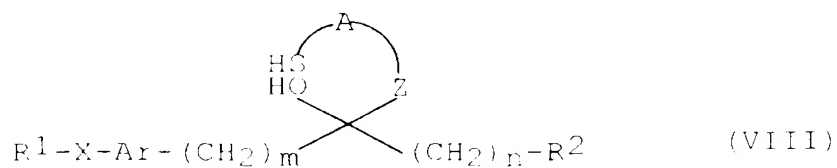


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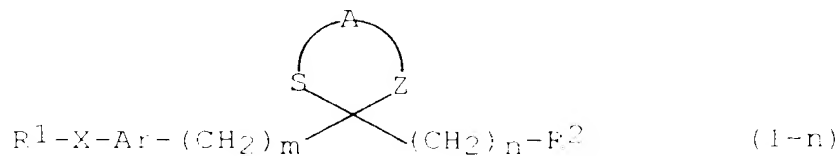
or a salt thereof; or

(11) cyclizing a compound of the formula:

35

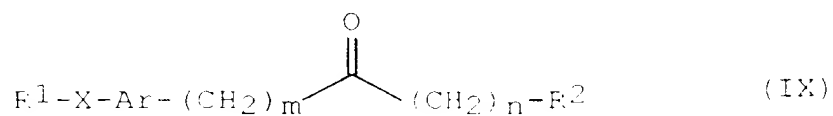


or a salt thereof, to give a compound of the formula:



or a salt thereof; or

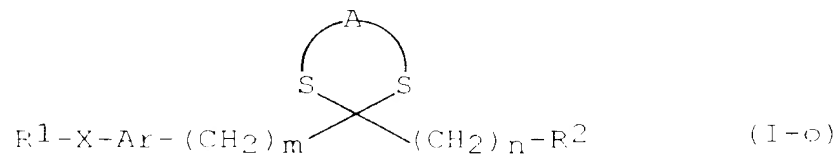
(12) reacting a compound of the formula:



or a salt thereof, with a compound of the formula:



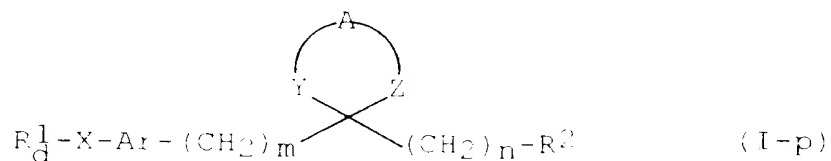
to give a compound of the formula:



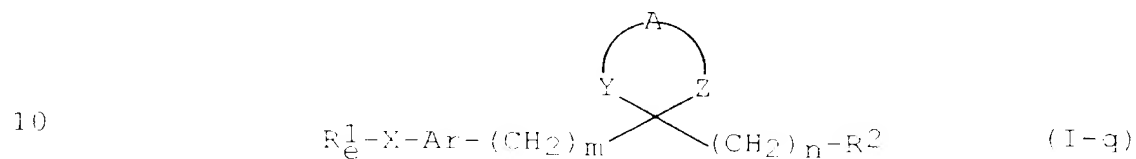
or a salt thereof; or

(13) amidating a compound of the formula:



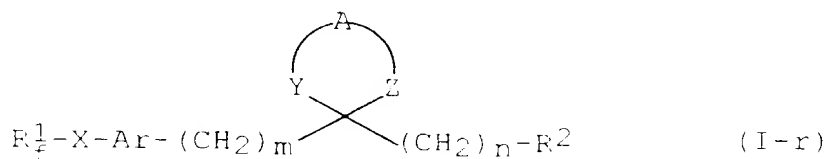


5 or its reactive derivative at the carboxy group,  
or a salt thereof, to give a compound of the formula:

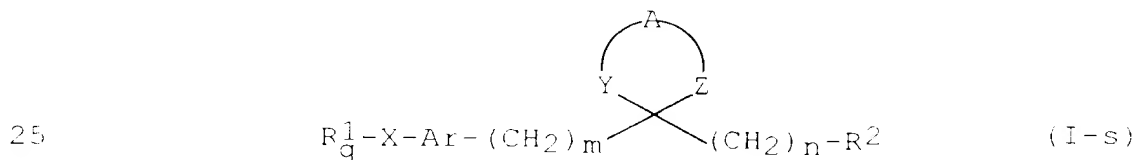


or a salt thereof; or

15 (14) acylating a compound of the formula:

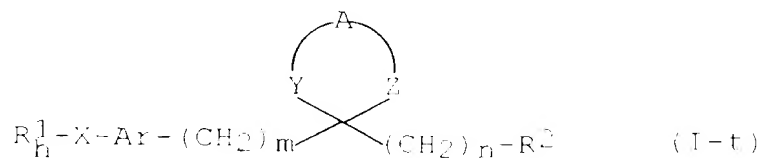


20 or its reactive derivative at the amino group,  
or a salt thereof, to give a compound of the formula:



or a salt thereof; or

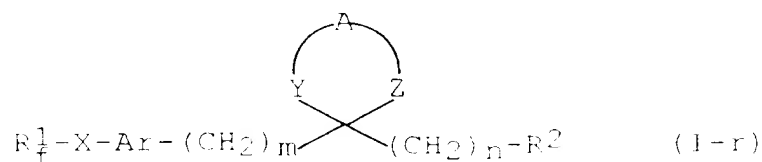
30 (15) subjecting a compound of the formula:



35

or a salt thereof to a removal reaction of the amino-protective group, to give a compound of the formula:

5

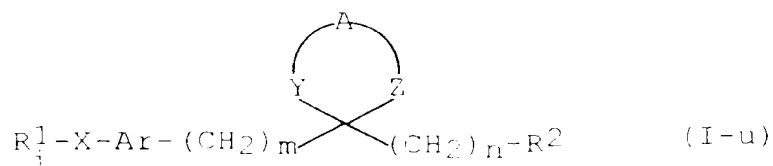


or a salt thereof; or

10

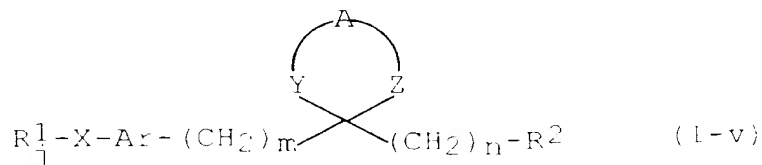
(16) subjecting a compound of the formula:

15



or a salt thereof to a removal reaction of the hydroxy-protective group, to give a compound of the formula:

20

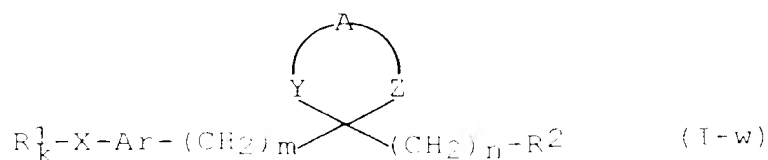


25

or a salt thereof; or

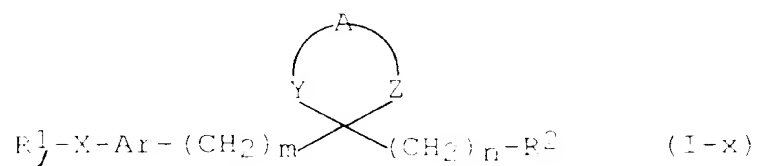
(17) oxidating a compound of the formula:

30



or a salt thereof, to give a compound of the formula:

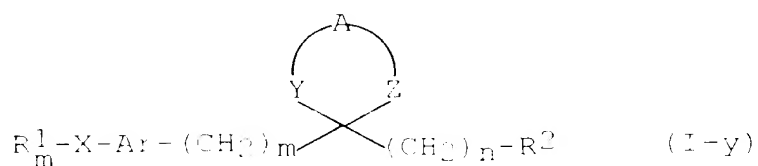
35



5

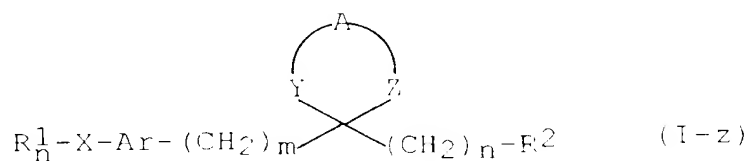
or a salt thereof; or

(18) reducing a compound of the formula:



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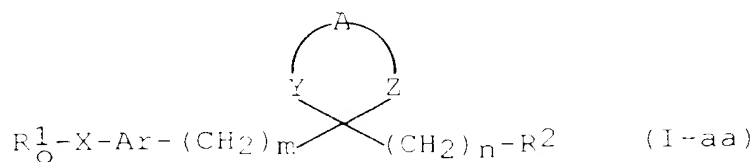
or a salt thereof, to give a compound of the formula:



15

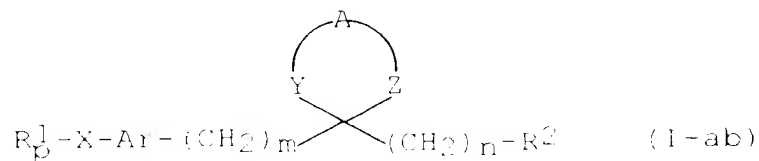
20 or a salt thereof; or

(19) oxidating a compound of the formula:



25

or a salt thereof, to give a compound of the formula:

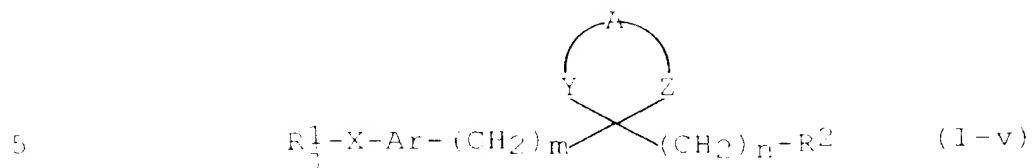


30

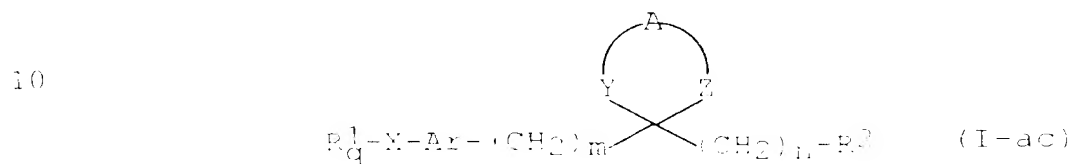
or a salt thereof; or

35

(20) acylating a compound of the formula:

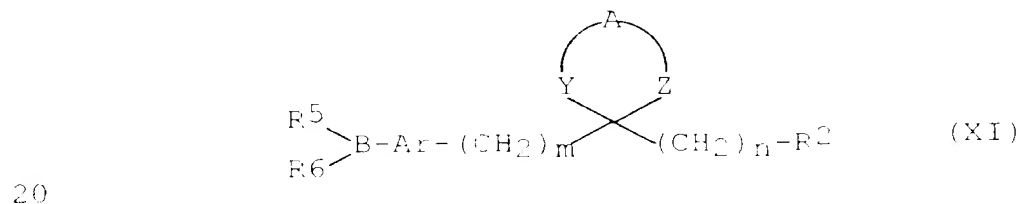


or a salt thereof, to give a compound of the formula:



or a salt thereof; or

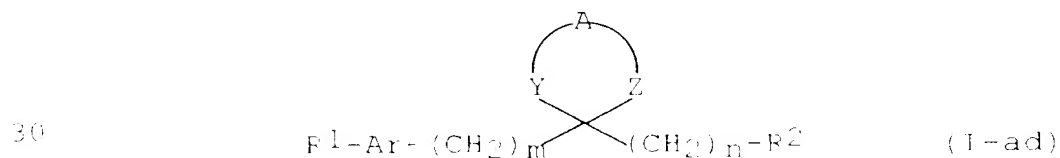
15 (21) reacting a compound of the formula:



or a salt thereof, with a compound of the formula:

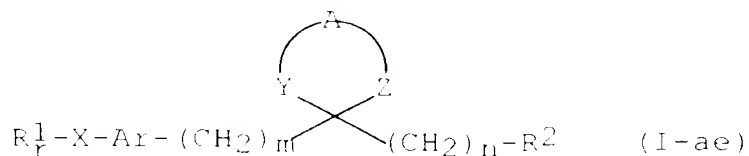


or a salt thereof, to give a compound of the formula:



or a salt thereof; or

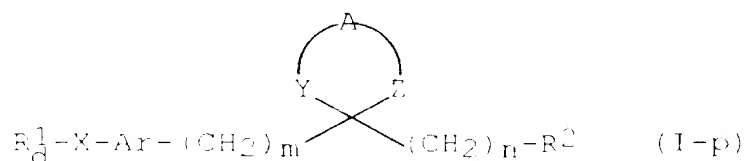
(22) subjecting a compound of the formula:



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or a salt thereof, to a removal reaction of the carboxy-protective group, to give a compound of the formula:

10

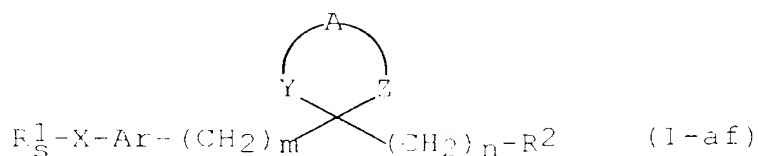


or a salt thereof; or

15

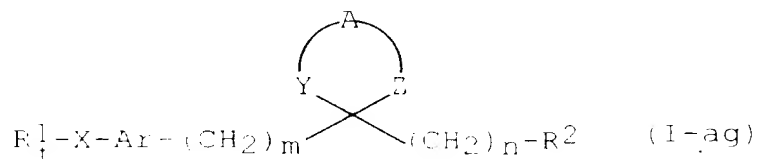
(23) reacting a compound of the formula:

20



or a salt thereof, with a substituted amine, to give a compound of the formula:

25



or a salt thereof,

30

in which  $R^1$ ,  $R^2$ , Ar, A, X, Y, Z, m and n are each as defined above,

$R_a^1$  is haloaryl or halo,

$R_b^1$  is aryl,

35

$R_c^1$  is aryl at least substituted by optionally

substituted aryl,

- 5  $R_D^1$  is aryl at least having carboxy moiety,  
 $R_E^1$  is aryl at least having amide moiety,  
 $R_F^1$  is aryl at least having amino moiety,  
 $R_G^1$  is aryl at least having acylamino moiety,  
 $R_H^1$  is aryl at least having protected amino moiety,  
 $R_I^1$  is aryl at least having protected hydroxy moiety,  
 $R_J^1$  is aryl at least having hydroxy moiety,  
 $R_K^1$  is aryl at least having thia moiety,  
10  $R_L^1$  is aryl at least having sulfinyl or  
sulfinyl moiety,  
 $R_M^1$  is aryl at least having formyl moiety,  
 $R_N^1$  is aryl at least having hydroxymethyl moiety,  
 $R_O^1$  is aryl at least having vinyl moiety,  
15  $R_P^1$  is aryl at least having 1,2-dihydroxyethyl moiety,  
 $R_Q^1$  is aryl at least having acyloxy moiety,  
 $R_R^1$  is aryl at least having protected  
carboxy moiety,  
 $R_S^1$  is aryl at least having halo(lower)alkanoyl  
20 moiety,  
 $R_T^1$  is aryl at least having substituted  
amino(lower)alkanoyl moiety,  
 $R_U^1$  is protected carboxy,  
 $R_V^1$  is optically active amide,  
25  $R_W^1$  is protected carboxy,  
 $R^3$  is hydrogen or hydroxy-protective group,  
 $R_d^4$  is hydroxy-protective group,  
 $R^5$  is optionally substituted aryl,  
 $R^5$  and  $R^6$  are each hydrogen or combined together to  
30 form lower alkylene,  
 $Y_a$  is thia, sulfinyl or sulfonyl,  
 $Z_a$  is methylene, thia, sulfinyl or sulfonyl,  
provided that at least one of  
 $Y_a$  and  $Z_a$  is thia or sulfinyl,  
35  $Y_b$  is thia, sulfinyl or sulfonyl,

$Z_b$  is methylene, thia, sulfinyl or sulfonyl,  
provided that at least one of  
 $Y_b$  and  $Z_b$  is sulfinyl or sulfonyl,  
 $L$  is a leaving group, and  
5  $m^1$  is an integer of 1 to 6.

- 10 11. A pharmaceutical composition which comprises the compound of Claim 1 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier or excipient.
- 15 12. A process for preparing a pharmaceutical composition which comprises admixing the compound of Claim 1 or a pharmaceutically acceptable salt thereof with a pharmaceutically acceptable carrier or excipient.
- 20 13. Use of the compound of Claim 1 or a pharmaceutically acceptable salt thereof as a medicament.
- 25 14. Use of the compound of Claim 1 or a pharmaceutically acceptable salt thereof as an inhibitor of matrix metalloproteinases (MMP) or tumor necrosis factor  $\alpha$  (TNF  $\alpha$ ).
- 30 15. Use of the compound of Claim 1 or a pharmaceutically acceptable salt thereof for manufacturing a medicament for treating and/or preventing MMP- or TNF  $\alpha$ -mediated diseases.
- 35 16. A method for treating and/or preventing MMP- or TNF  $\alpha$ -mediated diseases which comprises administering the compound of Claim 1 or a pharmaceutically acceptable salt thereof to a human being or an animal.
17. Use of the compound of Claim 1 or a pharmaceutically

acceptable salt thereof for treating and/or preventing  
MMP- or TNF  $\alpha$ -mediated diseases.

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